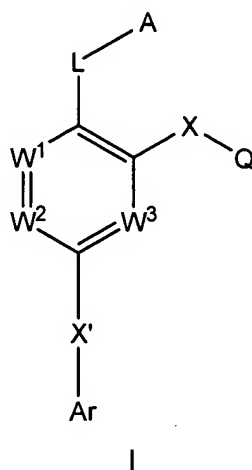


CLAIMS

What is claimed is:

1. A compound having a first planar moiety directly or indirectly attached to an acidic moiety, to a hydrophobic planar moiety, and to a second planar moiety bearing one or more non-aryl and non-heteroaryl substituents.

2. A compound having Formula I:



wherein:

A is hydrogen, OH, NO₂, -COOR, -C(O)NROH, -C(O)CF₃, -B(OH)₂, -SO₃H, -PO₃R₂, -OPO₃R₂, -C(O)NHSO₂R, or substituted or unsubstituted tetrazole, triazole, thiazole, oxazole, isoxazole, imidazole, or pyrazole, wherein the substituents are selected from the group consisting of F, Cl, Br, I, OR, CN, NRR, NO₂, R, -COOR, -C(O)NRR, -OC(O)R, -NRC(O)R, -OC(O)NR, and -NRC(O)OR;

L is -(CR⁴R⁵)_m-, -O-(CR⁴R⁵)_m-, -S(O)_q-(CR⁴R⁵)_m-, -NR-(CR⁴R⁵)_m-, -NR-C(O)-(CR⁴R⁵)_m-, -C(O)O-(CR⁴R⁵)_m-, -C(O)NR-(CR⁴R⁵)_m-, -NR-C(O)-O(CR⁴R⁵)_m-, -NR-C(O)NR-(CR⁴R⁵)_m-, -S(O)₂-NR-(CR⁴R⁵)_m-, or -NR-S(O)₂-(CR⁴R⁵)_m-, provided that L and A together are not H, -CH₃, OH, or -OCH₃;

W¹ is N or CR¹;

W^2 is N or CR^2 ;

W^3 is N or CR^3 ;

X is $-(CR^6R^7)_r-$, $-O-(CR^6R^7)_r-$, $-S(O)_q-(CR^6R^7)_r-$, $-NR-(CR^6R^7)_r-$,
 $-NR-C(O)-(CR^6R^7)_r-$, $-C(O)O-(CR^6R^7)_r-$, $-C(O)NR-(CR^6R^7)_r-$, $-NR-C(O)-O(CR^6R^7)_r-$,
 $-NR-C(O)NR-(CR^6R^7)_r-$, $-S(O)_2-NR-(CR^6R^7)_r-$, or $-NR-S(O)_2-(CR^6R^7)_r-$;

X' is a covalent bond, O, $S(O)_q$, NR, $-N(C(O)-R)-$, $-N(C(O)-OR)-$, $-N(C(O)-NRR)-$, $-NR-C(O)-$, $-NR-C(O)-NR-$, substituted or unsubstituted C_{1-4} alkyl, substituted or unsubstituted C_2 alkenyl, or acetylenyl;

Q is a substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted aryl, substituted or unsubstituted aralkyl, substituted or unsubstituted heterocyclyl, or substituted or unsubstituted heterocyclalkyl;

Ar is aryl or heterocyclyl, each substituted with one or more R' ;

R at each occurrence is independently hydrogen, substituted or unsubstituted C_{1-6} alkyl, substituted or unsubstituted C_{2-6} alkenyl, substituted or unsubstituted C_{2-6} alkynyl, substituted or unsubstituted $(C_{0-4}$ alkylene)(C_{6-10} aryl), or substituted or unsubstituted $(C_{0-4}$ alkylene)(C_{1-9} heterocyclyl);

R' at each occurrence is independently, F, Cl, Br, I, NO_2 , CN, substituted or unsubstituted C_{1-8} alkyl, substituted or unsubstituted C_{2-8} alkenyl, substituted or unsubstituted $(C_{1-6}$ alkylene)(C_{6-14} aryl), substituted or unsubstituted $(C_{1-6}$ alkylene)(C_{1-13} heterocyclyl), OR^8 , $-C(O)R^8$, $-COOR^8$, $-S(O)_qR^8$, $-NR^8R^9$, $-C(Y)NR^8R^9$, $-N(R^8)C(Y)OR^9$, $-NR^{10}C(Y)NR^8R^9$, $-NR^{10}C(NR^{11})NR^8R^9$, $-C(NR^{10})NR^8R^9$, $-NR^{10}NR^8R^9$, $-NR^8OR^9$, $-S(O)_qNR^8R^9$, or $-NR^8-SO_2-R^9$, wherein Y is O or S;

R^1 , R^2 , and R^3 , at each occurrence, are independently hydrogen, F, Cl, Br, I, CN, NO_2 , substituted or unsubstituted C_{1-8} alkyl, substituted or unsubstituted C_{2-8} alkenyl, substituted or unsubstituted $(C_{0-6}$ alkylene)(C_{6-14} aryl), substituted or unsubstituted $(C_{0-6}$ alkylene)(C_{1-13} heterocyclyl), OR^8 , $-C(O)R^8$, $-COOR^8$, $-S(O)_qR^8$, $-NR^8R^9$, $-C(Y')NR^8R^9$, $-N(R^8)C(Y')OR^9$,

$-\text{NR}^{10}\text{C}(\text{Y}')\text{NR}^8\text{R}^9$, $-\text{NR}^{10}\text{C}(\text{NR}^{11})\text{NR}^8\text{R}^9$, $-\text{C}(\text{NR}^{10})\text{NR}^8\text{R}^9$, $-\text{NR}^{10}\text{NR}^8\text{R}^9$, $-\text{NR}^8\text{OR}^9$, $-\text{S}(\text{O})_q\text{NR}^8\text{R}^9$, or $-\text{NR}^8-\text{SO}_2-\text{R}^9$, wherein each Y' is independently O or S;

R^4 and R^5 are, at each occurrence, independently hydrogen, F, Cl, Br, I, substituted or unsubstituted straight or branched C_{1-4} alkyl, substituted or unsubstituted C_{2-4} alkenyl, substituted or unsubstituted aryl, substituted or unsubstituted heterocyclyl, $-\text{OR}$, $-\text{COOR}$, $-\text{NRR}$; or R^4 and R^5 , together with the carbon to which they are attached, form a carbonyl;

R^6 and R^7 are, at each occurrence, independently hydrogen, F, Cl, Br, I, substituted or unsubstituted straight or branched C_{1-4} alkyl, substituted or unsubstituted C_{2-4} alkenyl, $-\text{OR}$, $-\text{COOR}$, $-\text{NRR}$; or when r is 2 or 3, R^6 and R^7 , together with the carbon to which they are attached, may form a carbonyl;

R^8 , R^9 , R^{10} , and R^{11} , at each occurrence, are independently hydrogen, substituted or unsubstituted C_{1-8} alkyl, substituted or unsubstituted C_{2-6} alkenyl, substituted or unsubstituted $(\text{C}_{0-6}$ alkylene)(C_{6-10} aryl), or substituted or unsubstituted $(\text{C}_{0-6}$ alkylene)(C_{1-9} heterocyclyl); or R^8 and R^9 , together with the N to which they are attached, form a substituted or unsubstituted heterocyclic ring;

$m = 0 - 4$;

each q is independently 0 - 2; and

$r = 0 - 3$;

and stereoisomers thereof, tautomers thereof, solvates thereof, prodrugs thereof, and pharmaceutically acceptable salts thereof;

provided the compound is not acetic acid 3'-(2-acetoxy-4-methoxy-benzoyl)-5-benzoyl-2-methoxy-biphenyl-4-yl ester, acetic acid 5'-(2-acetoxy-4-methoxy-benzoyl)-2,2'-dimethoxy-5-(4-methoxy-benzoyl)-biphenyl-4-yl ester, 5,5'-bis-[bis-(4-tert-butyl-phenyl)-methoxy-methyl]-2,4,2',4'-tetraisopropyl-biphenyl, 3-acetoxy-5-methyl-2-[2,4,2',4'-tetraacetoxy-3'-(2-methoxycarbonyl-4-methyl-6-acetoxybenzoyl)-biphenyl-3-carbonyl]-benzoic acid methyl

ester, 3-(3-benzyl-4'-methoxy-biphenyl-4-yl)-propionic acid, 3-(3-benzyl-4'-methoxy-biphenyl-4-yl)-propionyl chloride, or (4,4'-diamino-3'-benzoyl-biphenyl-3-yl)-phenyl-methanone.

3. The compound of claim 2, wherein A is OH, NO₂, -COOR, -C(O)NROH, -C(O)CF₃, -B(OH)₂, -SO₃H, -PO₃H₂, -OPO₃H₂, -C(O)NHSO₂R, or substituted or unsubstituted tetrazole, triazole, thiazole, oxazole, isoxazole, imidazole, or pyrazole, wherein the substituents are selected from the group consisting of F, Cl, Br, I, OR, CN, NRR, NO₂, R, -COOR, -C(O)NRR, -OC(O)R, -NRC(O)R, -OC(O)NR, and -NRC(O)OR.

4. The compound of claim 2, wherein A is hydrogen, -COOR, -C(O)NROH, -C(O)CF₃, -B(OH)₂, -SO₃H, -PO₃H₂, -OPO₃H₂, or substituted or unsubstituted tetrazole, triazole, thiazole, oxazole, isoxazole, imidazole, or pyrazole, wherein the substituents are selected from the group consisting of F, Cl, Br, I, OR, CN, NRR, NO₂, R, -COOR, -C(O)NRR, -OC(O)R, -NRC(O)R, -OC(O)NR, and -NRC(O)OR.

5. The compound of claim 2, wherein A is substituted or unsubstituted tetrazole, triazole, thiazole, oxazole, isoxazole, imidazole, or pyrazole, wherein the substituents are selected from the group consisting of F, Cl, Br, I, OR, CN, NRR, NO₂, R, -COOR, -C(O)NRR, -OC(O)R, -NRC(O)R, -OC(O)NR, and -NRC(O)OR.

6. The compound of claim 2, wherein A is -COOR, -C(O)NHOH, -C(O)CF₃, or -B(OH)₂.

7. The compound of claim 2, wherein A is -COOR.

8. The compound of claim 2, wherein A is -COOH.

9. The compound of claim 2, wherein L is -(CR⁴R⁵)_m-, -O-(CR⁴R⁵)_m-, -S(O)_q-(CR⁴R⁵)_m-, -NR-(CR⁴R⁵)_m-, -C(O)O-(CR⁴R⁵)_m-, -C(O)NR-(CR⁴R⁵)_m-, -NR-C(O)-O-(CR⁴R⁵)_m-, or -NR-C(O)NR-(CR⁴R⁵)_m-.

10. The compound of claim 2, wherein L is -(CR⁴R⁵)_m-, -O-(CR⁴R⁵)_m-, -S(O)_q-(CR⁴R⁵)_m-, -NR-(CR⁴R⁵)_m-, -NR-C(O)-(CR⁴R⁵)_m-, -C(O)O-(CR⁴R⁵)_m-, or -C(O)NR-(CR⁴R⁵)_m-.

11. The compound of claim 2, wherein L is $-(\text{CR}^4\text{R}^5)_m-$, $-\text{O}-(\text{CR}^4\text{R}^5)_m-$, $-\text{S}(\text{O})_q-(\text{CR}^4\text{R}^5)_m-$, or $-\text{NR}-(\text{CR}^4\text{R}^5)_m-$.
12. The compound of claim 2, wherein L is $-(\text{CR}^4\text{R}^5)_m-$ or $-\text{O}-(\text{CR}^4\text{R}^5)_m-$.
13. The compound of claim 2, wherein L is $-\text{O}-(\text{CR}^4\text{R}^5)_m-$.
14. The compound of claim 13, wherein R^4 and R^5 are each hydrogen.
15. The compound of claim 13, wherein $m = 1-2$.
16. The compound of claim 2, wherein L and A together are $-(\text{CR}^4\text{R}^5)_m-\text{COOR}$ or $-\text{O}-(\text{CR}^4\text{R}^5)_m-\text{COOR}$.
17. The compound of claim 2 wherein R^4 and R^5 are, at each occurrence, independently hydrogen, F, Cl, Br, I, substituted or unsubstituted straight or branched C_{1-4} alkyl, substituted or unsubstituted C_{2-4} alkenyl, OR, COOR, or -NRR; or R^4 and R^5 , together with the carbon to which they are attached, form a carbonyl.
18. The compound of claim 2, wherein $m = 1-3$.
19. The compound of claim 2, wherein X is $-(\text{CR}^6\text{R}^7)_r-$, $-\text{O}-(\text{CR}^6\text{R}^7)_r-$, $-\text{S}(\text{O})_q-(\text{CR}^6\text{R}^7)_r-$, $-\text{NR}-(\text{CR}^6\text{R}^7)_r-$, $-\text{NR}-\text{C}(\text{O})-(\text{CR}^6\text{R}^7)_r-$, $-\text{C}(\text{O})\text{O}-(\text{CR}^6\text{R}^7)_r-$, $-\text{C}(\text{O})\text{NR}-(\text{CR}^6\text{R}^7)_r-$, $-\text{NR}-\text{C}(\text{O})-\text{O}(\text{CR}^6\text{R}^7)_r-$, or $-\text{NR}-\text{C}(\text{O})\text{NR}-(\text{CR}^6\text{R}^7)_r-$.
20. The compound of claim 2, wherein X is $-(\text{CR}^6\text{R}^7)_r-$, $-\text{O}-(\text{CR}^6\text{R}^7)_r-$, $-\text{S}(\text{O})_q-(\text{CR}^6\text{R}^7)_r-$, $-\text{NR}-(\text{CR}^6\text{R}^7)_r-$, $-\text{C}(\text{O})\text{O}-(\text{CR}^6\text{R}^7)_r-$, or $-\text{C}(\text{O})\text{NR}-(\text{CR}^6\text{R}^7)_r-$.
21. The compound of claim 2, wherein X is $-(\text{CR}^6\text{R}^7)_r-$, $-\text{O}-(\text{CR}^6\text{R}^7)_r-$, or $-\text{S}(\text{O})_q-(\text{CR}^6\text{R}^7)_r-$.
22. The compound of claim 2, wherein X is $-(\text{CR}^6\text{R}^7)_r-$.
23. The compound of claim 22, wherein X is $-\text{CH}_2-$.

24. The compound of claim 2, wherein Q is a substituted or unsubstituted cycloalkyl or substituted or unsubstituted cycloalkenyl.
25. The compound of claim 2, wherein Q is a substituted or unsubstituted aryl, substituted or unsubstituted aralkyl, substituted or unsubstituted heterocyclyl, or substituted or unsubstituted heterocyclalkyl.
26. The compound of claim 2, wherein Q is a substituted or unsubstituted aryl or substituted or unsubstituted aralkyl.
27. The compound of claim 2, wherein Q is a fused or unfused bicyclic ring selected from the group consisting of substituted and unsubstituted C₉₋₁₂ aryl, substituted and unsubstituted C₇₋₁₂ cycloalkyl, substituted and unsubstituted C₉₋₁₂ cycloalkenyl, and substituted and unsubstituted C₇₋₁₂ heterocyclyl.
28. The compound of claim 2, wherein Q is a fused or unfused bicyclic ring that is substituted or unsubstituted C₉₋₁₂ aryl.
29. The compound of claim 2, wherein Q is substituted or unsubstituted 1-naphthyl, 2-naphthyl, or 4-biphenyl.
30. The compound of claim 29, wherein X is -CH₂-.
31. The compound of claim 2 wherein X' is a covalent bond, O, S(O)_q, -NR-, -NR-C(O)-, -NR-C(O)-NR-, substituted or unsubstituted C₁₋₂ alkyl, substituted or unsubstituted C₂ alkenyl, or acetylenyl.
32. The compound of claim 2 wherein X' is a covalent bond, O, S(O)_q, or -NR-.
33. The compound of claim 2 wherein X' is a substituted or unsubstituted C₁₋₂ alkyl.
34. The compound of claim 2 wherein X' is a covalent bond.

35. The compound of claim 2 wherein X' is -N(C(O)-R)-, -N(C(O)-OR)-, or -N(C(O)-NRR)-.
36. The compound of claim 2, wherein W¹ is CR¹.
37. The compound of claim 2, wherein W² is CR².
38. The compound of claim 2, wherein W³ is CR³.
39. The compound of claim 2, wherein W¹ is CR¹, W² is CR², and W³ is CR³.
40. The compound of claim 2, wherein W¹ is N, W² is N, and W³ is CR³.
41. The compound of claim 2, wherein W¹ is CR¹, W² is N, and W³ is N.
42. The compound of claim 2, wherein Ar is a 6-member aryl, a 5- or 6-member heteroaryl, a 9-12 member bicyclic aryl or heterocyclyl, each substituted with one or more R'.
43. The compound of claim 2, wherein Ar is a 6-member aryl or a 5-, or 6-member heteroaryl, each substituted with one or more R'.
44. The compound of claim 2, wherein Ar is a 9-12 member bicyclic aryl or heterocyclyl, each substituted with one or more R'.
45. The compound of claim 2, wherein Ar is 6-member aryl, substituted with one or more R'.
46. The compound of claim 2, wherein Ar is a 5- or 6-member heteroaryl, substituted with one or more R'.
47. The compound of claim 2, wherein Ar is substituted with one or more R' and is selected from the group consisting of phenyl, pyrrolyl, imidazolyl, pyrazolyl, triazolyl, furanyl, thiophenyl, oxazolyl, isooxazolyl, oxadiazolyl, thiazolyl, isothiazolyl, thiadiazolyl, pyridinyl, pyridazinyl, pyrimidinyl, pyrazinyl, and triazinyl.

48. The compound of claim 2, wherein Ar is substituted with one or more R' and is selected from the group consisting of phenyl, pyrrolyl, imidazolyl, pyrazolyl, furanyl, thiophenyl, oxazolyl, isooxazolyl, thiazolyl, isothiazolyl, pyridinyl, pyridazinyl, pyrimidinyl, and pyrazinyl.

49. The compound of claim 2, wherein Ar is substituted with one or more R' and is selected from naphthyl, indolyl, benzofuranyl, benzthiazolyl, benzothiophenyl, chromanyl, isochromanyl, or coumarinyl.

50. The compound of claim 2, wherein Ar is phenyl substituted with one or more R'.

51. The compound of claim 2, wherein R¹, R², and R³, at each occurrence, are independently hydrogen, F, Cl, Br, I, CN, NO₂, substituted or unsubstituted C₁-C₈ alkyl, substituted or unsubstituted C₂₋₈ alkenyl, substituted or unsubstituted (C₀₋₆ alkylene)(C₆₋₁₄ aryl), substituted or unsubstituted (C₀₋₆ alkylene)(C₁₋₁₃ heterocyclyl), -OR⁸, -C(O)R⁸, -COOR⁸, -S(O)_qR⁸, -NR⁸R⁹, -C(O)NR⁸R⁹, -N(R⁸)C(O)OR⁹, -NR¹⁰C(O)NR⁸R⁹, -NR¹⁰C(NR¹¹)NR⁸R⁹, -C(NR¹⁰)NR⁸R⁹, -NR¹⁰NR⁸R⁹, -NR⁸OR⁹, -S(O)_qNR⁸R⁹, or -NR⁸-SO₂-R⁹.

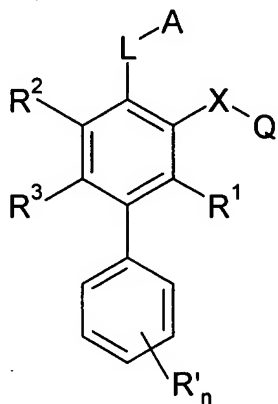
52. The compound of claim 2, wherein R', at each occurrence, is independently F, Cl, Br, I, CN, NO₂, substituted or unsubstituted C₁₋₈ alkyl, substituted or unsubstituted C₂₋₈ alkenyl, substituted or unsubstituted (C₁₋₆ alkylene)(C₆₋₁₄ aryl), substituted or unsubstituted (C₁₋₆ alkylene)(C₁₋₁₃ heterocyclyl), -OR⁸, -C(O)R⁸, -COOR⁸, -NR⁸R⁹, -C(Y)NR⁸R⁹, or -N(R⁸)C(Y)OR⁹, wherein Y is O or S.

53. The compound of claim 2 wherein R', at each occurrence, is independently F, Cl, Br, I, NO₂, substituted or unsubstituted C₁₋₈ alkyl, substituted or unsubstituted C₂₋₈ alkenyl, OR⁸, or -COOR⁸.

54. The compound of claim 2, wherein R⁸ and R⁹, together with the nitrogen to which they are attached, form a substituted or unsubstituted heterocyclyl.

55. The compound of claim 54, wherein the heterocyclyl is selected from the group consisting of pyrrolidinyl, piperidinyl, morpholinyl, and pyrazinyl.

56. The compound of claim 2 having Formula V



(V)

wherein $n = 1-5$.

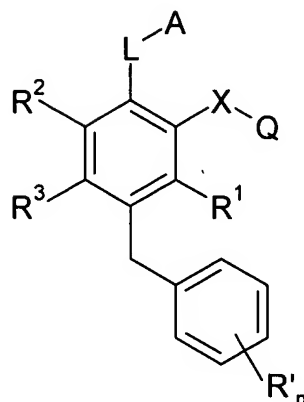
57. The compound of claim 56 wherein A is hydrogen, $-\text{COOR}$, $-\text{C(O)NROH}$, $-\text{C(O)CF}_3$, $-\text{B(OH)}_2$, or substituted or unsubstituted tetrazole, triazole, thiazole, oxazole, isoxazole, imidazole, or pyrazole, wherein the substituents are selected from the group consisting of F, Cl, Br, I, $-\text{OR}$, $-\text{CN}$, $-\text{NRR}$, $-\text{NO}_2$, $-\text{R}$, $-\text{COOR}$, $-\text{C(O)NRR}$, $-\text{OC(O)R}$, $-\text{NRC(O)R}$, $-\text{OC(O)NR}$, and $-\text{NRC(O)OR}$.

58. The compound of claim 56, wherein L is $-(\text{CR}^4\text{R}^5)_m-$, $-\text{O}-(\text{CR}^4\text{R}^5)_m-$, $-\text{S(O)}_q-(\text{CR}^4\text{R}^5)_m-$, $-\text{NR}-(\text{CR}^4\text{R}^5)_m-$, $-\text{NR-C(O)}-(\text{CR}^4\text{R}^5)_m-$, $-\text{C(O)O}-(\text{CR}^4\text{R}^5)_m-$, $-\text{C(O)NR}-(\text{CR}^4\text{R}^5)_m-$, $-\text{NR-C(O)-O}-(\text{CR}^4\text{R}^5)_m-$, or $-\text{NR-C(O)NR}-(\text{CR}^4\text{R}^5)_m-$.

59. The compound of claim 56, wherein L is $-(\text{CR}^4\text{R}^5)_m-$ or $-\text{O}-(\text{CR}^4\text{R}^5)_m-$.

60. The compound of claim 56, wherein L and A together are $-(\text{CR}^4\text{R}^5)_m-\text{COOR}$ or $-\text{O}-(\text{CR}^4\text{R}^5)_m-\text{COOR}$.

61. The compound of claim 2 having Formula VI



(VI)

wherein $n = 1 - 5$.

62. The compound of claim 61 wherein A is hydrogen, -COOR, -C(O)NROH, -C(O)CF₃, -B(OH)₂, or substituted or unsubstituted tetrazole, triazole, thiazole, oxazole, isoxazole, imidazole, or pyrazole, wherein the substituents are selected from the group consisting of F, Cl, Br, I, -OR, -CN, -NRR, -NO₂, -R, -COOR, -C(O)NRR, -OC(O)R, -NRC(O)R, -OC(O)NR, and -NRC(O)OR.

63. The compound of claim 61, wherein L is -(CR⁴R⁵)_m-, -O-(CR⁴R⁵)_m-, -S(O)_q-(CR⁴R⁵)_m-, -NR-(CR⁴R⁵)_m-, -NR-C(O)-(CR⁴R⁵)_m-, -C(O)O-(CR⁴R⁵)_m-, -C(O)NR-(CR⁴R⁵)_m-, -NR-C(O)-O-(CR⁴R⁵)_m-, or -NR-C(O)NR-(CR⁴R⁵)_m-.

64. The compound of claim 61, wherein L is -(CR⁴R⁵)_m- or -O-(CR⁴R⁵)_m-.

65. The compound of claim 61, wherein L and A together are -(CR⁴R⁵)_m-COOR or -O-(CR⁴R⁵)_m-COOR.

66. A pharmaceutical composition, comprising a pharmaceutically effective amount of the compound of claim 2 and a pharmaceutically acceptable carrier or diluent.

67. A method for the treatment of viral infection, the method comprising administering the composition of claim 66 to a subject in need thereof.

68. The method of claim 67, wherein the viral infection is HIV, ebola, HRSV, or influenza infection.

69. The method of claim 67, wherein the viral infection is HIV

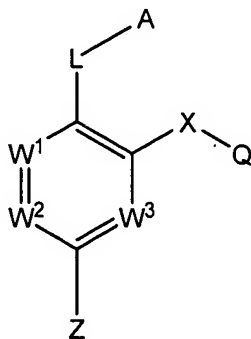
70. A method for the inhibition of cell entry by a virus, the method comprising contacting a virus with a compound of claim 2.

71. The method of claim 70, wherein the virus is HIV, ebola, HRSV, or influenza.

72. The method of claim 70, wherein the virus is HIV.

73. A method of preparing a compound of claim 2 wherein X' is a covalent bond or NH, the method comprising

reacting a compound of Formula III



(III)

with a compound of Formula IV



(IV)

in the presence of a palladium catalyst, a base, and a solvent

to form a compound of claim 2 wherein X' is a covalent bond or NH, and

wherein

A, Ar, L, X, Q, Z, W¹, W², and W³ are as defined in claim 2;

Z is B(OR'')₂ or NH₂, and Z' is I, Br, Cl, or OTf; or

Z is I, Br, Cl, or OTf, and Z' is B(OR'')₂ or NH₂; and

wherein each R'' is independently hydrogen or substituted or unsubstituted alkyl, or, each R'', together with B and the atoms to which they are attached, form a cyclic boronate.

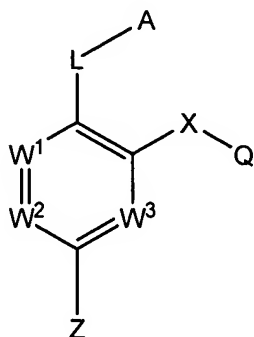
74. The method of claim 73, wherein the palladium catalyst is Pd₂(dba)₃ or Pd(PPh₃)₄.

75. The method of claim 73, wherein the base is Na₂CO₃, K₂CO₃, or NaOtBu.

76. The method of claim 73, wherein the solvent is DMF, toluene, or a mixture of DME, ethanol and toluene.

77. A method of preparing a compound of claim 2 wherein X' is O, the method comprising

reacting a compound of Formula III



(III)

with a compound of Formula IV

$$Z'-Ar$$

(IV)

in the presence of a copper catalyst, a base, and a solvent

to form a compound of claim 2 wherein X' is O, and wherein

A, Ar, L, X, Q, Z, W¹, W², and W³ are as defined in claim 2;

Z is OH, and Z' is I, Br, Cl, or OTf; or Z is I, Br, Cl, or OTf, and Z' is OH.

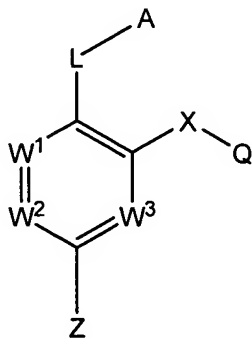
78. The method of claim 77, wherein the copper catalyst is CuI.

79. The method of claim 77, wherein the base is Cs₂CO₃.

80. The method of claim 77, wherein the solvent is toluene.

81. A method of preparing a compound of claim 2 wherein X' is -CH(OH)-, the method comprising

reacting a compound of Formula III



(III)

with a compound of Formula IV



(IV)

in the presence of a solvent

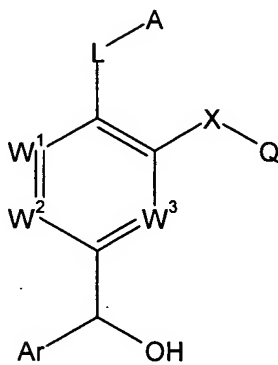
to form a compound of claim 2 wherein X' is -CH(OH)- , and wherein

A, Ar, L, X, Q, W¹, W², and W³ are as defined in claim 2;

Z is Li, and Z' is C(O)-H; or Z is C(O)-H, and Z' is Li.

82. The method of claim 81, wherein the solvent is THF or diethylether.

83. A method of preparing a compound of claim 2, wherein X' is $\text{-CH}_2\text{-}$, the method comprising treating a compound having Formula VII



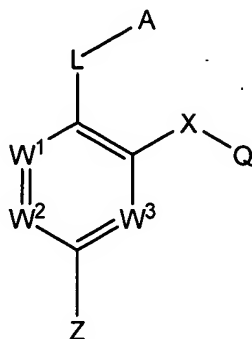
(VII)

with a reducing agent in a solvent.

84. The method of claim 83 where the reducing agent is H₂ in the presence of Pd/C or triethylsilane with trifluoroacetic acid.

85. The method of claim 83, where the solvent is EtOAc or DCM.

86. An intermediate having the Formula III:



(III)

wherein,

A is hydrogen, OH, NO₂, -COOR, -C(O)NROH, -C(O)CF₃, -B(OH)₂, -SO₃H, -PO₃R₂, -OPO₃R₂, -C(O)NHSO₂R, or substituted or unsubstituted tetrazole, triazole, thiazole, oxazole, isoxazole, imidazole, or pyrazole, wherein the substituents are selected from the group consisting of F, Cl, Br, I, -OR, -CN, -NRR, -NO₂, -R, -COOR, -C(O)NRR, -OC(O)R, -NRC(O)R, -OC(O)NR, and -NRC(O)OR;

L is -(CR⁴R⁵)_m-, -O-(CR⁴R⁵)_m-, -S(O)_q-(CR⁴R⁵)_m-, -NR-(CR⁴R⁵)_m-, -C(O)O-(CR⁴R⁵)_m-, -C(O)NR-(CR⁴R⁵)_m-, -NR-C(O)-O(CR⁴R⁵)_m-, -NR-C(O)NR-(CR⁴R⁵)_m-, -S(O)₂-NR-(CR⁴R⁵)_m-, or -NR-S(O)₂-(CR⁴R⁵)_m-;

W¹ is N or CR¹;

W² is N or CR²;

W³ is N or CR³;

X is -(CR⁶R⁷)_r-, -O-(CR⁶R⁷)_r-, -S(O)_q-(CR⁶R⁷)_r-, -NR-(CR⁶R⁷)_r-, -C(O)O-(CR⁶R⁷)_r-, -C(O)NR-(CR⁶R⁷)_r-, -NR-C(O)-O(CR⁶R⁷)_r-, -NR-C(O)NR-(CR⁶R⁷)_r-, -S(O)₂-NR-(CR⁶R⁷)_r-, or -NR-S(O)₂-(CR⁶R⁷)_r-;

Q is a substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted aryl, substituted or unsubstituted aralkyl, substituted or unsubstituted heterocyclyl, or substituted or unsubstituted heterocyclylalkyl;

Z is B(OR^{''})₂, NH₂, OH, I, Br, Cl, C(O)-H, Li or OTf;

wherein each R^{''} is independently hydrogen or substituted or unsubstituted alkyl, or, each R^{''} together with B and the atoms to which they are attached, form a cyclic boronate;

R at each occurrence is independently hydrogen, substituted or unsubstituted C₁₋₆ alkyl, substituted or unsubstituted C₂₋₆ alkenyl, substituted or unsubstituted C₂₋₆ alkynyl, substituted or unsubstituted (C₀₋₄ alkylene)(C₆₋₁₀ aryl), or substituted or unsubstituted (C₀₋₄ alkylene)(C₁₋₉ heterocyclyl);

m = 0 - 4;

each q is independently 0 - 2;

r = 0 - 3;

and stereoisomers thereof, tautomers thereof, and solvates thereof.